Khare, D.
10/676782

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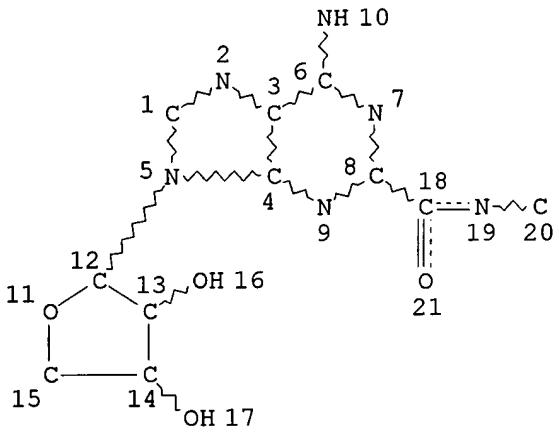
L3 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE
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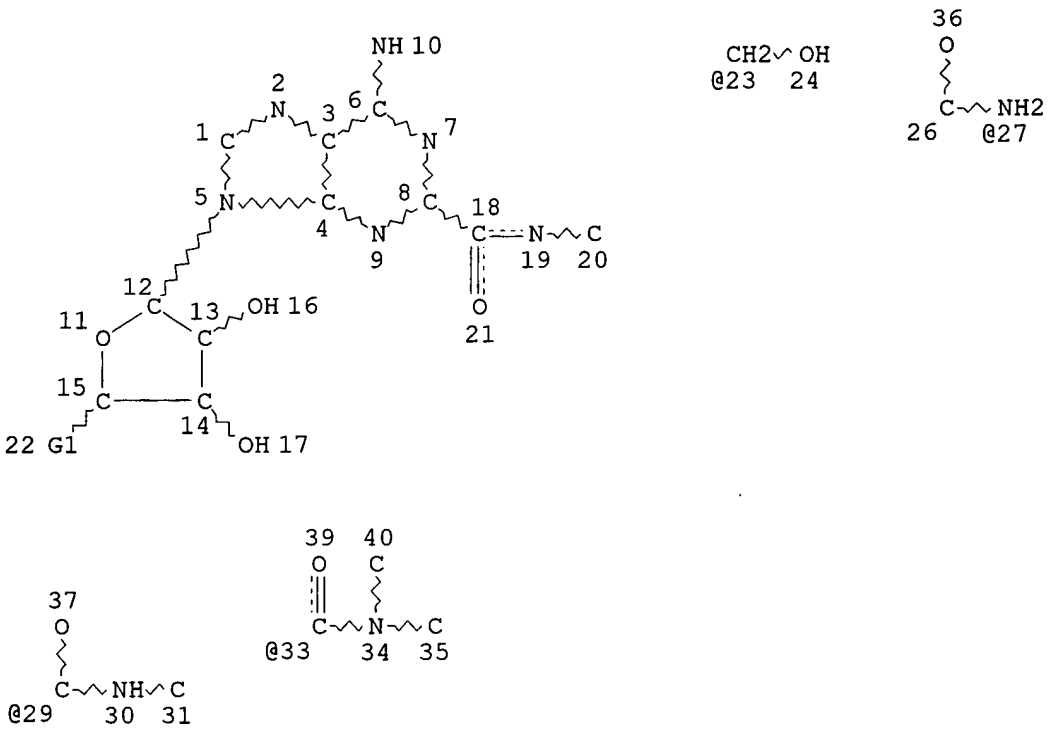

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 36

STEREO ATTRIBUTES: NONE
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SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 10:39:18 ON 03 JAN 2005
L8 10 S L7

L8 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 20 May 2004
ACCESSION NUMBER: 2004:406956 CAPLUS
DOCUMENT NUMBER: 141:235647
TITLE: Modulation of adenosine receptor affinity and intrinsic efficacy in adenine nucleosides substituted

at the 2-position

AUTHOR(S): Ohno, Michihiro; Gao, Zhan-Guo; Van Rompaey, Philippe; Tchilibon, Susanna; Kim, Soo-Kyung; Harris, Brian A.; Gross, Ariel S.; Duong, Heng T.; Van Calenbergh, Serge; Jacobson, Kenneth A.

CORPORATE SOURCE: National Institute of Diabetes and Digestive and Kidney Diseases, DHHS, Laboratory of Bioorganic Chemistry, Molecular Recognition Section, National Institutes of Health (NIH), Bethesda, MD, 20892-0810, USA

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(11), 2995-3007
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We studied the structural determinants of binding affinity and efficacy of adenosine receptor (AR) agonists. Substituents at the 2-position of adenosine were combined with N6-substitutions known to enhance human A3AR affinity. Selectivity of binding of the analogs and their functional effects on cAMP production were studied using recombinant human A1, A2A, A2B, and A3ARs. Mainly sterically small substituents at the 2-position modulated both the affinity and intrinsic efficacy at all subtypes. The 2-cyano group decreased hA3AR affinity and efficacy in the cases of N6-(3-iodobenzyl) and N6-(trans-2-phenyl-1-cyclopropyl), for which a full A3AR agonist was converted into a selective antagonist; the 2-cyano-N6-Me analog was a full A3AR agonist. The combination of N6-benzyl and various 2-substitutions (chloro, trifluoromethyl, and cyano) resulted in reduced efficacy at the A1AR. The environment surrounding the 2-position within the putative A3AR binding site was explored using rhodopsin-based homol. modeling and ligand docking.

IT **750644-50-9P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(modulation of adenosine receptor affinity and intrinsic efficacy in adenine nucleosides substituted at the 2-position)

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 13 Jun 2003

ACCESSION NUMBER: 2003:455019 CAPLUS

DOCUMENT NUMBER: 139:41800

TITLE: Pharmaceutical combinations containing adenosine A2a receptor and adrenoceptor agonists

INVENTOR(S): Yeadon, Michael

PATENT ASSIGNEE(S): UK

SOURCE: U.S. Pat. Appl. Publ., 13 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 2003109485	A1	20030612	US 2002-307727	20021202
WO 2003047628	A1	20030612	WO 2002-IB5046	20021128

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-29397 A 20011207
US 2002-352394P P 20020128

OTHER SOURCE(S): MARPAT 139:41800

AB The present invention relates to a combination comprising (a) an adenosine A2a receptor agonist and (b) an adrenergic receptor agonist, for simultaneous, sequential or sep. administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease. An adrenergic receptor agonist is chosen from e.g., salmeterol of formoterol. The compds. can be administered in inhalant formulations for the treatment of e.g., obstructive airway disease.

IT **313344-83-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical combinations containing adenosine A2a receptor and adrenoceptor agonists)

L8 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 13 Jun 2003
ACCESSION NUMBER: 2003:454343 CAPLUS
DOCUMENT NUMBER: 139:26658
TITLE: Crystalline form of a ribofuranosyluronamide derivative as a human adenosine A2a receptor agonist
INVENTOR(S): Silk, Terence Vernon; Smith, Julian Duncan
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

WO 2003048180	A1	20030612	WO 2002-IB4979	20021127

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,

	CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
BR 2002014747		A	20040914	BR 2002-14747		20021127
EP 1456219		A1	20040915	EP 2002-783443		20021127
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US 2003144243		A1	20030731	US 2002-308829		20021203
US 2003158145		A1	20030821	US 2002-308805		20021203
PRIORITY APPLN. INFO.:				GB 2001-29273	A	20011206
				US 2002-352424P	P	20020128
				WO 2002-IB4979	W	20021127

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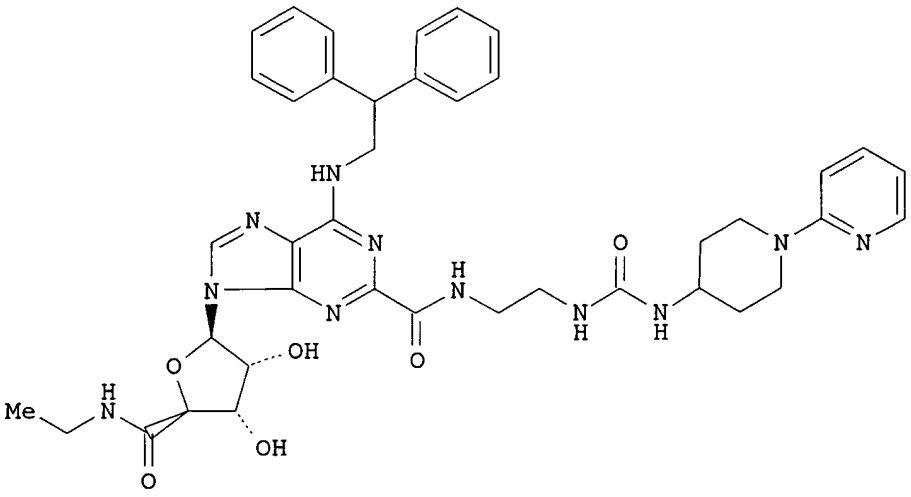

I

AB The present invention relates to a crystalline form of 6-[(2,2-diphenylethyl)amino]-9-(N-ethyl-β-D-ribofuranosyluronamide)-N-[2-[N-[1-(2-pyridyl)-4-piperidyl]ureido]ethyl]-9H-purine-2-carboxamide (I) and preparation of compns. containing I and the uses of a crystalline form of I. A crystalline form of I was prepared from a solution of amorphous I in 2-butanone and water.

IT **380221-63-6**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(crystalline form of a ribofuranosyluronamide derivative as a human adenosine A2a receptor agonist)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 13 Jun 2003
ACCESSION NUMBER: 2003:454134 CAPLUS
DOCUMENT NUMBER: 139:12336
TITLE: Pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists

INVENTOR(S): Yeadon, Michael
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047598	A1	20030612	WO 2002-IB5057	20021128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1455799	A1	20040915	EP 2002-785778	20021128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003139369	A1	20030724	US 2002-308160	20021202
PRIORITY APPLN. INFO.:			GB 2001-29270 A	20011206
			US 2002-352465P P	20020128
			WO 2002-IB5057 W	20021128

OTHER SOURCE(S): MARPAT 139:12336

AB The present invention relates to a combination comprising (a) an adenosine A2a receptor agonist as defined herein and (b) an adrenergic β2 receptor agonist, for simultaneous, sequential or sep. administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease.

IT **380221-63-6**
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 13 Jun 2003
ACCESSION NUMBER: 2003:454133 CAPLUS
DOCUMENT NUMBER: 139:41794
TITLE: Combination of crystalline form of a ribofuranosyluronamide derivative and tiotropium salt
INVENTOR(S): Silk, Terence Vernon; Smith, Julian Duncan
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003047597	A1	20030612	WO 2002-IB5038		20021127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2003144243	A1	20030731	US 2002-308829		20021203
US 2003158145	A1	20030821	US 2002-308805		20021203
PRIORITY APPLN. INFO.:			GB 2001-29273	A	20011206
			US 2002-352424P	P	20020128

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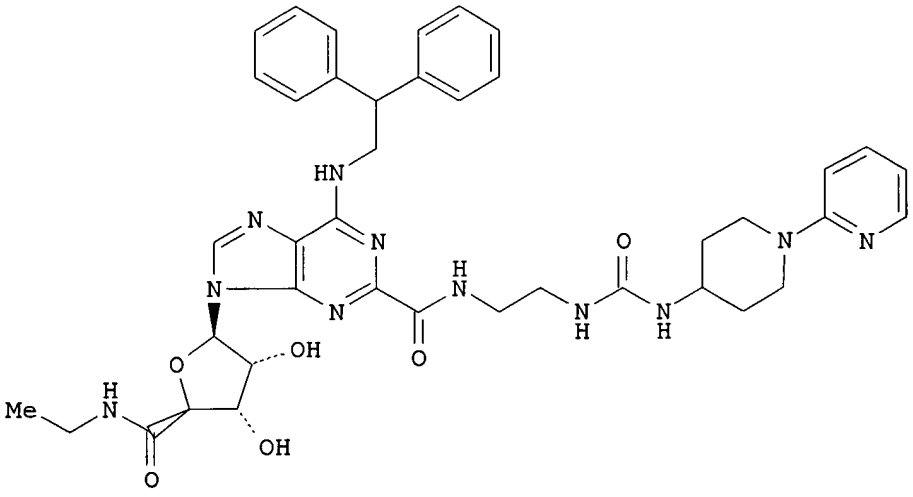

I

AB The present invention relates to a combination of a crystalline form of I and a tiotropium salt. Such a combination is useful in the treatment of respiratory diseases such as chronic obstructive pulmonary disease. A crystal form of I was obtained from amorphous I in a solution of water and 2-butanone.

IT **380221-63-6**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(combination of crystalline form of a ribofuranosyluronamide derivative and

tiotropium salt)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 06 Dec 2002
ACCESSION NUMBER: 2002:927275 CAPLUS
DOCUMENT NUMBER: 138:11420
TITLE: An adenosine A2a receptor agonist and an anticholinergic agent in combination for treating obstructive airways diseases
INVENTOR(S): Yeadon, Michael; Armstrong, Roisin A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096462	A1	20021205	WO 2002-EP5725	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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EP 1395287	A1	20040310	EP 2002-745316	20020524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009986	A	20040406	BR 2002-9986	20020524
EE 200300586	A	20040415	EE 2003-586	20020524
BG 108383	A	20040831	BG 2003-108383	20031124
US 2004171576	A1	20040902	US 2003-479085	20031124
PRIORITY APPLN. INFO.:			US 2001-293842P	P 20010525
			GB 2001-29275	A 20011206
			GB 2002-10238	A 20020503
			WO 2002-EP5725	W 20020524

AB The present invention relates to a combination of a selective adenosine A2a receptor agonist and an anticholinergic agent for simultaneous, sequential or sep. administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease, with the proviso that the anticholinergic agent is not a tiotropium salt.
IT **313344-83-1 355144-57-9 380221-63-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine A2a agonists and anticholinergic agent in combination for treating obstructive airways diseases)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 29 Nov 2002
ACCESSION NUMBER: 2002:905869 CAPLUS
DOCUMENT NUMBER: 138:8333
TITLE: Combination of an adenosine A2A-receptor agonist and tiotropium or a derivative thereof for treating obstructive airways and other inflammatory diseases
INVENTOR(S): Yeadon, Michael; Armstrong, Roisin Anne; Watson, John W.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094273	A2	20021128	WO 2002-EP5764	20020525
WO 2002094273	A3	20031211		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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US 2003013675	A1	20030116	US 2002-154561	20020524
EP 1397140	A2	20040317	EP 2002-740650	20020525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534767	T2	20041118	JP 2002-590990	20020525

PRIORITY APPLN. INFO.:
US 2001-293530P P 20010525
US 2001-303934P P 20010709
WO 2002-EP5764 W 20020525

OTHER SOURCE(S): MARPAT 138:8333

AB A combination of therapeutic agents useful in the treatment of obstructive airways and other inflammatory diseases comprises (i) an adenosine A2A receptor agonist, and (ii) an anticholinergic agent, administered sep., simultaneously or sequentially by inhalation. The preferred anticholinergic agent component is tiotropium bromide. For example, a pressurized, tetrafluoroethylene-coated aluminum canister for use in a metered dose inhaler was prepared, sufficient to provide about 200 actuations of the inhaler, each actuation providing about 20 μg of each active ingredient. The contents of each the canister were: N-[[9-[(2R,3R,4S,5R)-3,4-dihydroxy-5-(methoxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9H-purin-2-yl]methyl]-2-phenylacetamide, tiotropium bromide, dichlorotetrafluoroethane, trichloromonofluoromethane, dichlorodifluoromethane, and soya lecithin.

IT **313344-83-1 313344-84-2 313344-88-6 313344-89-7 313344-90-0 313352-80-6**

355144-57-9 355144-58-0 380221-56-7
380221-57-8 380221-58-9 380221-59-0
380221-60-3 380221-61-4 380221-62-5
380221-63-6 476644-85-6 476644-86-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

L8 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 14 Dec 2001
ACCESSION NUMBER: 2001:904207 CAPLUS
DOCUMENT NUMBER: 136:37902
TITLE: Preparation of 2-aminocarbonyl-9H-purine nucleosides and their uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents
INVENTOR(S): Mantell, Simon John; Stephenson, Peter Thomas
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001094368	A1	20011213	WO 2001-IB973		20010605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
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CA 2414018	AA	20011213	CA 2001-2414018		20010605
US 2002058641	A1	20020516	US 2001-874007		20010605
US 6753322	B2	20040622			
EP 1292604	A1	20030319	EP 2001-934242		20010605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001011263	A	20030617	BR 2001-11263		20010605
JP 2003535871	T2	20031202	JP 2002-501916		20010605
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BG 107216	A	20030530	BG 2002-107216		20021023
NO 2002005821	A	20030204	NO 2002-5821		20021204
ZA 2002009875	A	20031205	ZA 2002-9875		20021205
US 2004077584	A1	20040422	US 2003-676782		20031001
PRIORITY APPLN. INFO.:			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
			US 2000-214307P	P	20000627
			US 2000-225236P	P	20000815
			US 2000-245243P	P	20001102

US 2001-874007 A3 20010605
WO 2001-IB973 W 20010605

OTHER SOURCE(S): MARPAT 136:37902
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 2-Aminocarbonyl-9H-purine nucleosides I wherein R, R2 are independently H, alkyl; R1 is H, substituted alkyl, fluorenyl; R3 is H, alkyl, cycloalkyl, benzyl; R4 is substituted azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; R3R4 taken together with the nitrogen atom to which they are attached, represent azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperidinyl or homopiperazinyl, each being optionally substituted on a ring nitrogen or carbon atom by alkyl or cycloalkyl; R5 is CH2OH, amide; X is substituted alkylene; RX or R2X with the nitrogen atom to which they are attached , represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; Y is CO, CS, SO2, C=N(CN); were prepared as A2a receptor agonists and anti-inflammatory agents. Thus, nucleoside II was prepared and tested as A2a receptor agonist and anti-inflammatory agent. Title compds. were tested for biol. activity as A2a receptor agonists and anti-inflammatory agents and all were found to have an IC50 of less than 100 nM.

IT **380221-56-7P 380221-57-8P 380221-58-9P**
380221-59-0P 380221-60-3P 380221-61-4P
380221-62-5P 380221-63-6P 380221-64-7P
380221-65-8P 380221-66-9P 380221-67-0P
380221-68-1P 380221-69-2P 380221-70-5P
380221-71-6P 380221-72-7P 380221-73-8P
380221-74-9P 380221-75-0P 380221-76-1P
380221-77-2P 380221-78-3P 380221-79-4P
380221-81-8P 380221-82-9P 380221-85-2P
380221-87-4P 380221-89-6P 380221-91-0P
380221-93-2P 380222-54-8P 380222-56-0P
380222-58-2P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment
of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

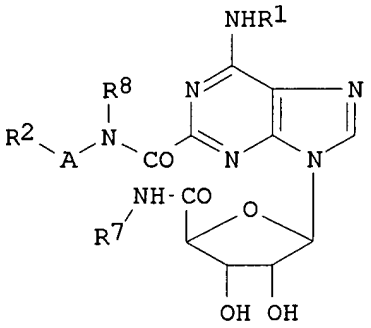
IT **380222-04-8P 380222-16-2P 380222-44-6P**
380222-46-8P 380222-48-0P 380222-50-4P
380222-52-6P 380222-77-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment
of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 24 Aug 2001
ACCESSION NUMBER: 2001:618013 CAPLUS
DOCUMENT NUMBER: 135:180928
TITLE: Preparation of adenosine derivatives for pharmaceutical use as adenosine A2a receptor agonists
INVENTOR(S): Mantell, Simon John; Monoghan, Sandra Marina; Stephenson, Peter Thomas
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001060835	A1	20010823	WO 2001-IB167		20010209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2400619	AA	20010823	CA 2001-2400619		20010209
AU 2001030440	A5	20010827	AU 2001-30440		20010209
EP 1255764	A1	20021113	EP 2001-902583		20010209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001008408	A	20021126	BR 2001-8408		20010209
EE 200200452	A	20031215	EE 2002-452		20010209
JP 2004508284	T2	20040318	JP 2001-560219		20010209
NZ 519971	A	20040430	NZ 2001-519971		20010209
US 2001020089	A1	20010906	US 2001-789236		20010220
US 6525032	B2	20030225			
BG 106906	A	20030430	BG 2002-106906		20020705
ZA 2002006526	A	20031016	ZA 2002-6526		20020815
NO 2002003894	A	20021001	NO 2002-3894		20020816
PRIORITY APPLN. INFO.:			GB 2000-3960	A	20000218
			US 2000-188648P	P	20000310
			WO 2001-IB167	W	20010209

OTHER SOURCE(S): MARPAT 135:180928
GI



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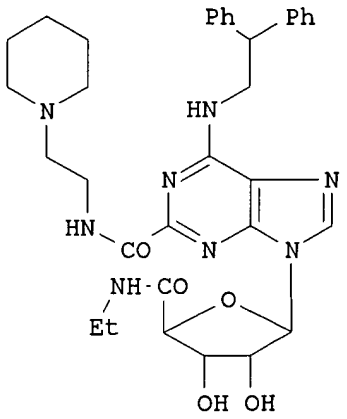


II

AB Adenosines, such as I [A = bond, alkylene connecting group; R1 = H, alkyl, cycloalkyl, arylalkyl, etc.; R2 = H, Ph, naphthyl, alkyl, cycloalkyl, amino, alkyloxy, carboxy, acyloxy, sulfonyl, aminosulfonyl, acylamino, etc.; R7 = H, Ph, naphthyl, heterocyclyl,alkyl, cycloalkyl, etc.; R8 = H, alkyl], were prepared for therapeutic use as adenosine A2a receptor agonists for the treatment of a variety of conditions, such as respiratory disease, inflammation, vascular disease, and psychotic disorders. Thus, adenosine derivative II was prepared via a multistep synthetic sequence starting from 2,6-dichloropurine, 1-piperidineethanamine, 2,2-diphenylethanamine and Me 2,3-O-(1-methylethylidene)-β-D-ribofuranosiduronic acid. Formulation for delivery of the prepared adenosine derivs. were discussed, but no adenosine A2a receptor activity data was presented.

IT **355144-57-9P 355144-58-0P 355144-59-1P**
355144-60-4P 355144-61-5P 355144-62-6P
355144-63-7P 355144-64-8P 355144-65-9P
355144-66-0P 355144-68-2P 355144-69-3P
355144-70-6P 355144-71-7P 355144-72-8P
355144-73-9P 355144-74-0P 355144-75-1P
355144-76-2P 355144-77-3P 355144-78-4P
355144-79-5P 355144-80-8P 355144-81-9P
355144-82-0P 355144-83-1P 355144-84-2P
355144-85-3P 355144-86-4P 355144-87-5P
355144-88-6P 355144-89-7P 355144-90-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of adenosine derivs. for pharmaceutical use as adenosine A2a receptor agonists)

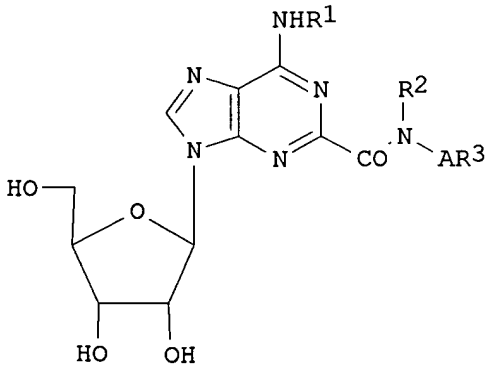
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Dec 2000
ACCESSION NUMBER: 2000:900654 CAPLUS
DOCUMENT NUMBER: 134:56915
TITLE: Preparation of purine nucleosides as antiinflammatory agents
INVENTOR(S): Mantell, Simon John; Monaghan, Sandra Marina

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer, Inc.
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000077018	A2	20001221	WO 2000-IB789		20000613
WO 2000077018	A3	20011206			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2379786	AA	20001221	CA 2000-2379786		20000613
EP 1185542	A2	20020313	EP 2000-931495		20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 2000011705	A	20020326	BR 2000-11705		20000613
TR 200103607	T2	20021021	TR 2001-200103607		20000613
JP 2003502339	T2	20030121	JP 2001-503875		20000613
EE 200100681	A	20030415	EE 2001-681		20000613
AU 764106	B2	20030807	AU 2000-49443		20000613
NZ 516094	A	20040730	NZ 2000-516094		20000613
ZA 2001010208	A	20021212	ZA 2001-10208		20011212
HR 2001000927	A1	20030430	HR 2001-927		20011213
NO 2001006109	A	20020215	NO 2001-6109		20011214
BG 106289	A	20020930	BG 2002-106289		20020108
PRIORITY APPLN. INFO.:			GB 1999-13932	A	19990615
			WO 2000-IB789	W	20000613

OTHER SOURCE(S): MARPAT 134:56915
GI



I

Searcher : Shears 571-272-2528

AB Nucleosides I (R1 = H, alkyl, arylalkyl; R2 = H, alkyl; R3 = H, alkyl, ester, CN, amide, cycloalkyl, Ph, naphthyl; A = alkylidene, imine, alkoxy, oxycarbonyl, sulfone, sulfonamide), and pharmaceutically acceptable salts and solvates thereof and to processes for the preparation of, intermediates used in the preparation of, compns. containing and the uses of, such compds. as adenosine A2a receptor agonists. Thus, I (R1 = CH2CHPh2, R2 = H, R3 = 1-piperidinyl, A = CH2CH2) was prepared and tested for its antiinflammatory activity by its ability to inhibit neutrophil function (IC50 < 1 μM).

IT **313344-83-1P 313344-84-2P 313344-85-3P**
313344-86-4P 313344-88-6P 313344-89-7P
313344-90-0P 313352-80-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purine nucleosides as antiinflammatory agents)

=> sel hit l8 1-10 rn
E1 THROUGH E86 ASSIGNED

FILE 'REGISTRY' ENTERED AT 10:40:20 ON 03 JAN 2005
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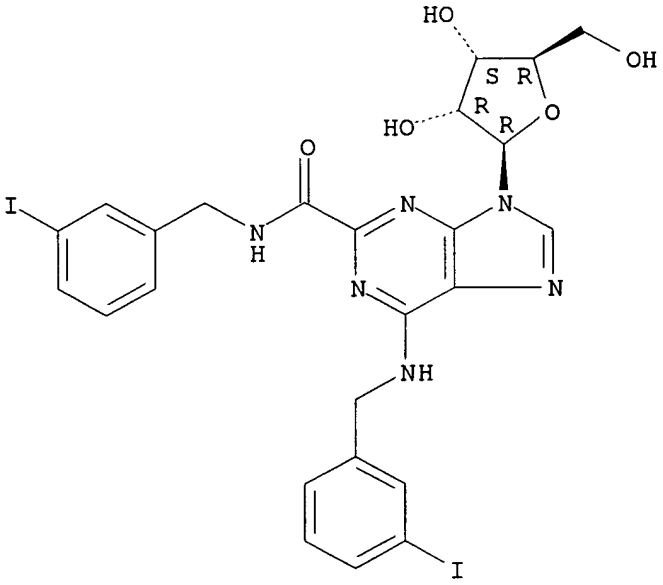
=> d 1,4,8,15,23,37,46,58,69,79,80 ide can

L9 ANSWER 1 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **750644-50-9** REGISTRY
CN Adenosine, N-[(3-iodophenyl)methyl]-2-[[[(3-iodophenyl)methyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH

MF C25 H24 I2 N6 O5
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation); PRP (Properties)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

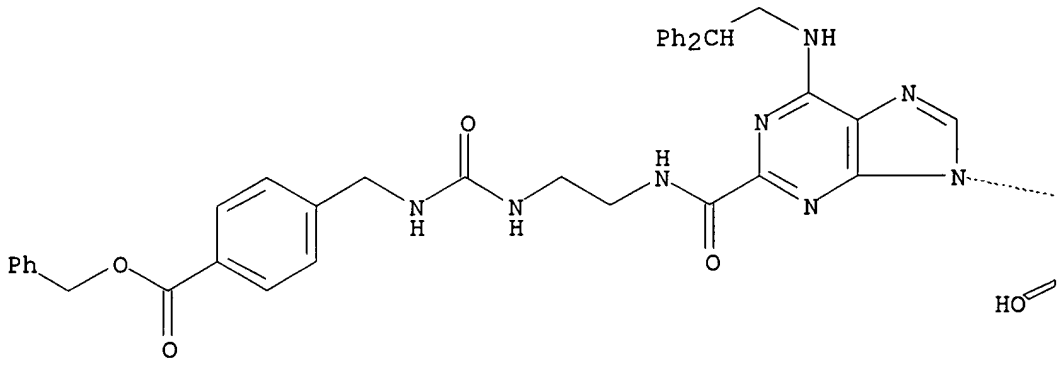
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:235647

L9 ANSWER 4 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **380222-77-5** REGISTRY
CN Benzoic acid, 4-[[[[[2-[[[6-[(2,2-diphenylethyl)amino]-9-(N-ethyl-β-D-ribofuranuronamidosyl)-9H-purin-2-yl]carbonyl]amino]ethyl]amino]carbonyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C45 H47 N9 O8
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PAGE 1-A



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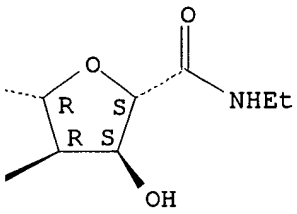


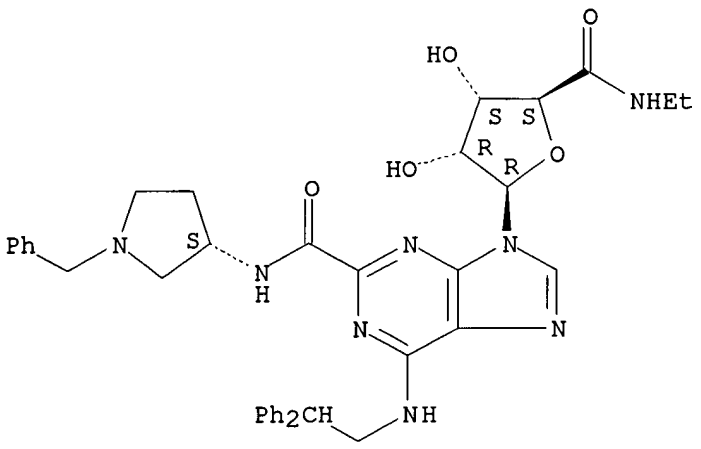
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:37902

L9 ANSWER 8 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **380222-52-6** REGISTRY
CN β-D-Ribofuranuronamide, 1-deoxy-1-[6-[(2,2-diphenylethyl)amino]-2-[[[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]amino]carbonyl]-9H-purin-9-yl]-N-ethyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H42 N8 O5
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

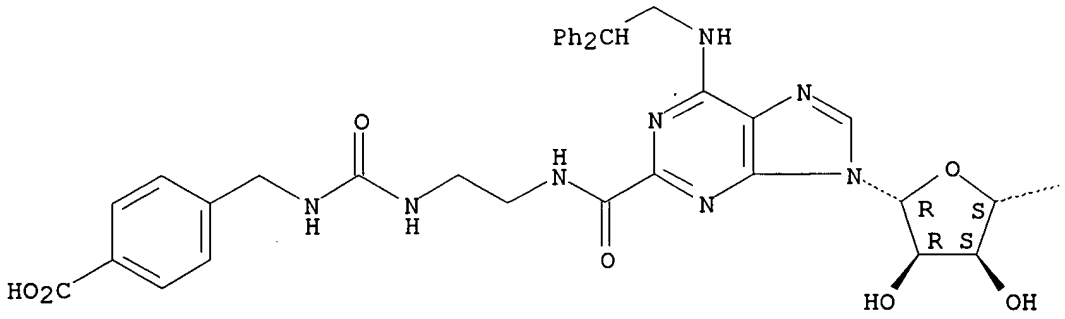
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

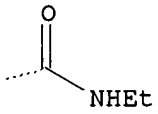
REFERENCE 1: 136:37902

L9 ANSWER 15 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **380221-93-2** REGISTRY
CN Benzoic acid, 4-[[[[[2-[[[6-[(2,2-diphenylethyl)amino]-9-(N-ethyl-β-D-ribofuranuronamidosyl)-9H-purin-2-yl]carbonyl]amino]ethyl]amino]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H41 N9 O8
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A





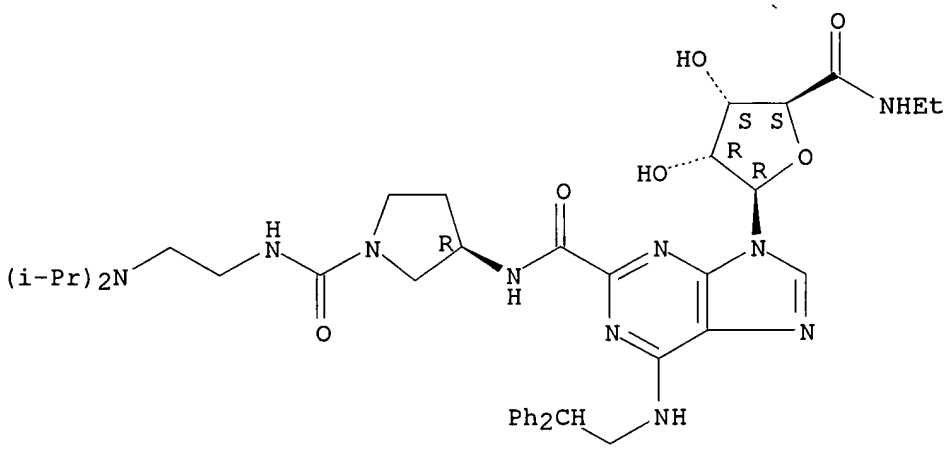
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:37902

L9 ANSWER 23 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **380221-78-3** REGISTRY
CN β-D-Ribofuranuronamide, 1-[2-[[[(3R)-1-[[[2-[bis(1-methylethyl)amino]ethyl]amino]carbonyl]-3-pyrrolidinyl]amino]carbonyl]-6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl]-1-deoxy-N-ethyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C40 H54 N10 O6
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

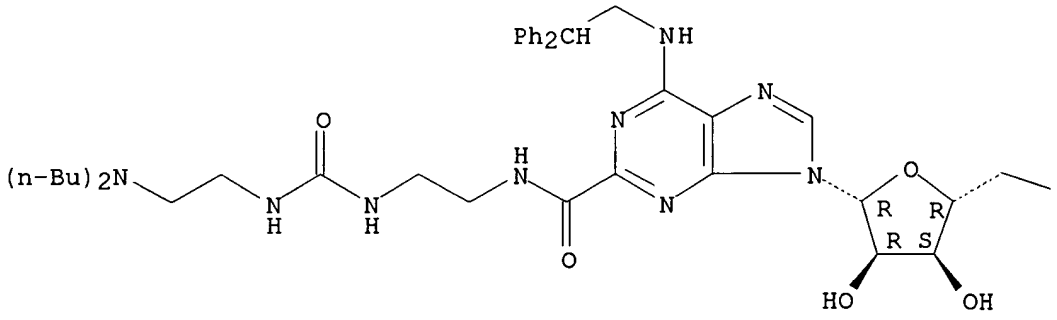
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:37902

L9 ANSWER 37 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **380221-64-7** REGISTRY
CN Adenosine, 2-(10-butyl-1,6-dioxo-2,5,7,10-tetraazatetradec-1-yl)-N-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H53 N9 O6
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

OH

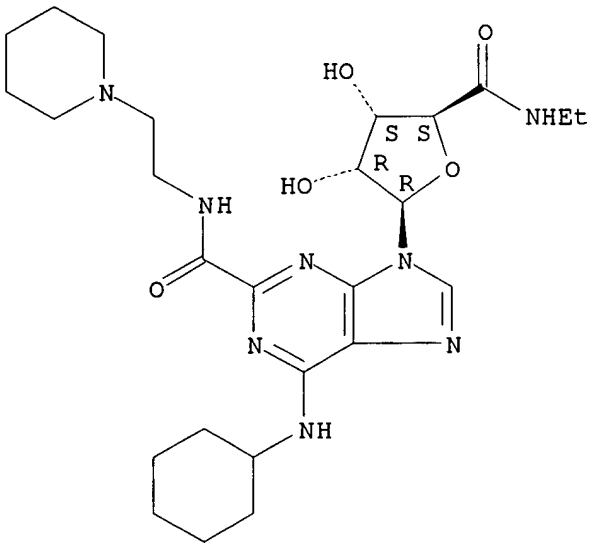
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:37902

L9 ANSWER 46 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **355144-90-0** REGISTRY
CN β-D-Ribofuranuronamide, 1-[6-(cyclohexylamino)-2-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]-9H-purin-9-yl]-1-deoxy-N-ethyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H40 N8 O5
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

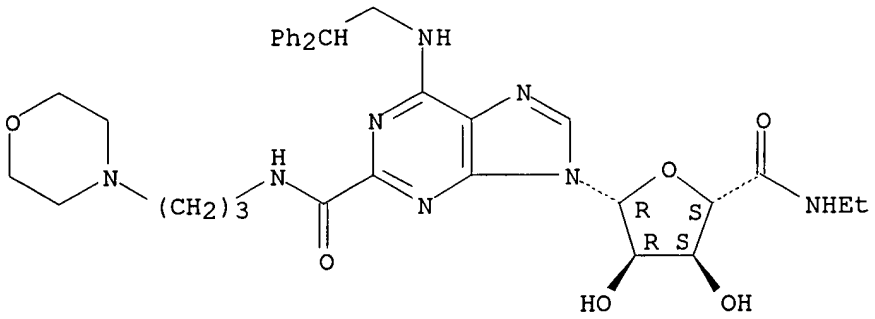
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:180928

L9 ANSWER 58 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **355144-78-4** REGISTRY
CN β-D-Ribofuranuronamide, 1-deoxy-1-[6-[(2,2-diphenylethyl)amino]-2-[[[3-(4-morpholinyl)propyl]amino]carbonyl]-9H-purin-9-yl]-N-ethyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C34 H42 N8 O6
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



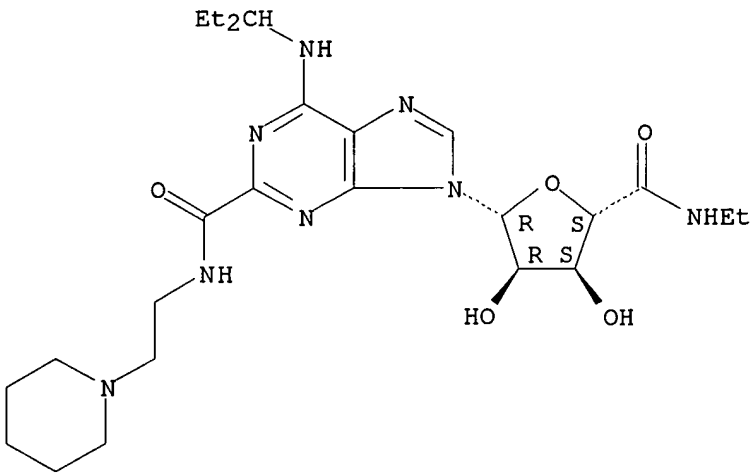
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:180928

L9 ANSWER 69 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **355144-66-0** REGISTRY
CN β-D-Ribofuranuronamide, 1-deoxy-N-ethyl-1-[6-[(1-ethylpropyl)amino]-2-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H40 N8 O5
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



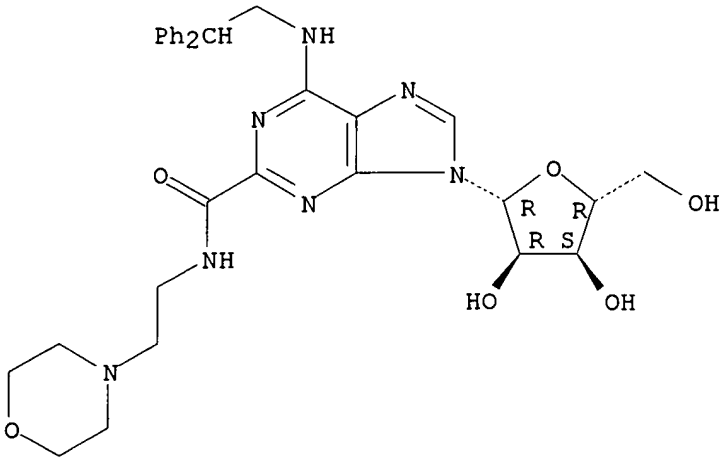
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:180928

L9 ANSWER 79 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **313352-80-6** REGISTRY
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H37 N7 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

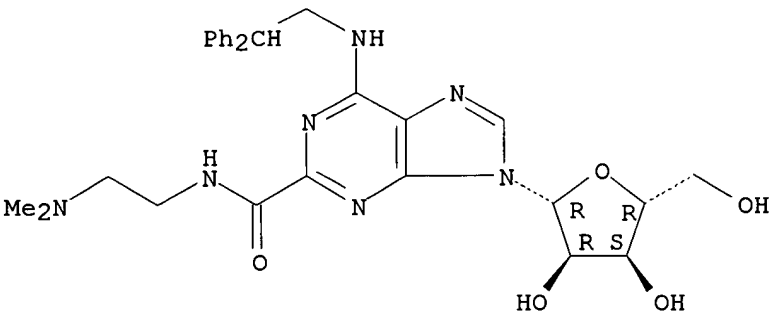
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:8333

REFERENCE 2: 134:56915

L9 ANSWER 80 OF 86 REGISTRY COPYRIGHT 2005 ACS on STN
RN **313344-90-0** REGISTRY
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(dimethylamino)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H35 N7 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:8333

REFERENCE 2: 134:56915

FILE 'CAOLD' ENTERED AT 10:43:47 ON 03 JAN 2005
L10 0 S L9

FILE 'USPATFULL' ENTERED AT 10:43:53 ON 03 JAN 2005
L11 9 S L9

L11 ANSWER 1 OF 9 USPATFULL on STN
ACCESSION NUMBER: 2004:221805 USPATFULL
TITLE: Adenosine a2a receptor agonist and an anticholinergic agent in combination for treating obstructive airways diseases
INVENTOR(S): Yeadon, Michael, Sandwich, UNITED KINGDOM
Armstrong, Roisin A, Mystic, CT, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004171576	A1	20040902	
APPLICATION INFO.:	US 2003-479085	A1	20031124	(10)
	WO 2002-EP5725		20020524	

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-29275	20011206
	GB 2002-10238	20020503
	US 2001-293842P	20010525 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Robert T Ronau, Pfizer Inc, Patent Department Box 8260-1611, Eastern Point Road, Groton, CT, 06340
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 1535
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to a combination of a selective adenosine A.sub.2a receptor agonist and an anticholinergic agent for simultaneous, sequential or separate administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease, with the proviso that the anticholinergic agent is not a tiotropium salt.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 2 OF 9 USPATFULL on STN
ACCESSION NUMBER: 2004:101714 USPATFULL
TITLE: 2-Aminocarbonyl-9H-purine derivatives
INVENTOR(S): Mantell, Simon J., Kent, UNITED KINGDOM
Stephenson, Peter T., Kent, UNITED KINGDOM

PATENT ASSIGNEE(S): Pfizer Inc (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004077584	A1	20040422
APPLICATION INFO.:	US 2003-676782	A1	20031001 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-874007, filed on 5 Jun 2001, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2000-14048	20000606
	GB 2000-18246	20000725
	GB 2000-24920	20001011
	US 2000-214307P	20000627 (60)
	US 2000-225236P	20000815 (60)
	US 2000-245243P	20001102 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 78
EXEMPLARY CLAIM: 1
LINE COUNT: 3821
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to compounds of the formula: ##STR1##

and pharmaceutically acceptable salts and solvates thereof, and to processes for the preparation of, intermediates used in the preparation of, compositions containing and the uses of, such compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 3 OF 9 USPATFULL on STN
ACCESSION NUMBER: 2003:226332 USPATFULL
TITLE: Crystalline drug form
INVENTOR(S): Silk, Terence Vernon, Sandwich, UNITED KINGDOM
Smith, Julian Duncan, Sandwich, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003158145	A1	20030821
APPLICATION INFO.:	US 2002-308805	A1	20021203 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-29273	20011206
	US 2002-352424P	20020128 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 968

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a crystalline form of 6-[(2,2-diphenylethyl)amino]-9-(N-ethyl-β-D-ribofuranosyluronamide)-N-(2-{N'-[1-(2-pyridyl)-4-piperidyl]ureido}ethyl)-9H-purine-2-carboxamide and to a process for the preparation of, compositions containing and the uses of such a crystalline form.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 4 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2003:207882 USPATFULL

TITLE: Combination of a crystalline drug form and atiotropium salt

INVENTOR(S): Silk, Terrence Vernon, Sandwich, UNITED KINGDOM
Smith, Julian Duncan, Sandwich, UNITED KINGDOM

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003144243	A1	20030731	
APPLICATION INFO.:	US 2002-308829	A1	20021203	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	GB 2001-29273	20011206	
	US 2002-352424P	20020128	(60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340

NUMBER OF CLAIMS: 15

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 3 Drawing Page(s)

LINE COUNT: 1000

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a combination of a crystalline form of 6-[(2,2-diphenylethyl)amino]-9-(N-ethyl-β-D-ribofuranosyluronamide)-N-(2-{N'-[1-(2-pyridyl)-4-piperidyl]ureido}ethyl)-9H-purine-2-carboxamide and a tiotropium salt. Such a combination is useful in the treatment of respiratory diseases such as chronic obstructive pulmonary disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 5 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2003:201383 USPATFULL

TITLE: Pharmaceutical combination

INVENTOR(S): Yeadon, Michael, Sandwich, UNITED KINGDOM

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003139369	A1	20030724	
APPLICATION INFO.:	US 2002-308160	A1	20021202	(10)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-29270	20011206

US 2002-352465P 20020128 (60)
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 1393
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to a combination comprising (a) an adenosine A.sub.2a receptor agonist as defined herein and (b) an adrenergic β2 receptor agonist, for simultaneous, sequential or separate administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 6 OF 9 USPATFULL on STN
ACCESSION NUMBER: 2003:159870 USPATFULL
TITLE: Pharmaceutical combination
INVENTOR(S): Yeadon, Michael, Sandwich, UNITED KINGDOM

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003109485	A1	20030612	
APPLICATION INFO.:	US 2002-307727	A1	20021202	(10)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-29397	20011207
	US 2002-352394P	20020128 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 1213
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to a combination comprising (a) an adenosine A.sub.2a receptor agonist as defined herein and (b) an adrenergic β2 receptor agonist, for simultaneous, sequential or separate administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 7 OF 9 USPATFULL on STN
ACCESSION NUMBER: 2003:17922 USPATFULL
TITLE: Combination of an adenosine A2A-receptor agonist and tiotropium or a derivative thereof for treating obstructive airways and other inflammatory diseases
INVENTOR(S): Yeadon, Michael, Sandwich, UNITED KINGDOM
Watson, John W., Ledyard, CT, UNITED STATES
Armstrong, Roison Anne, Mystic, CT, UNITED STATES
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma KG, Ingelheim, GERMANY,

FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003013675	A1	20030116
APPLICATION INFO.:	US 2002-154561	A1	20020524 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-293530P	20010525 (60)
	US 2001-303934P	20010709 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P. O. BOX 368, RIDGEFIELD, CT, 06877
NUMBER OF CLAIMS: 42
EXEMPLARY CLAIM: 1
LINE COUNT: 4413
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A combination of therapeutic agents useful in the treatment of obstructive airways and other inflammatory diseases comprising (i) an adenosine A.sub.2A receptor agonist; and (ii) an anti-cholinergic agent, preferably comprising a member selected from the group consisting of tiotropium and derivatives thereof; the combination being therapeutically effective in the treatment of the diseases when administered by inhalation; as well as to a method of treating the obstructive airways and other inflammatory diseases comprising administering separately, simultaneously or sequentially to the mammal by inhalation a therapeutically effective amount of the combination of therapeutic agents; as well as to a pharmaceutical composition comprising a pharmaceutically acceptable carrier together with the combination of therapeutic agents; as well as to a product containing the compounds of the combination for separate, simultaneous or sequential administration by inhalation to a mammal for the treatment of obstructive airways and other inflammatory diseases. It is preferred that the anti-cholinergic agent component be tiotropium bromide.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 8 OF 9 USPATFULL on STN
ACCESSION NUMBER: 2002:112900 USPATFULL
TITLE: 2-aminocarbonyl-9H-purine derivatives
INVENTOR(S): Mantell, Simon John, Kent, UNITED KINGDOM
Stephenson, Peter Thomas, Kent, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002058641	A1	20020516
	US 6753322	B2	20040622
APPLICATION INFO.:	US 2001-874007	A1	20010605 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2000-14048	20000606
	GB 2000-18246	20000725
	GB 2000-24920	20001011

	US 2000-214307P	20000627 (60)
	US 2000-225236P	20000815 (60)
	US 2000-245243P	20001102 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Paul H. Ginsburg, Pfizer Inc, 235 East 42nd Street, 20th Floor, New York, NY, 10017-5755	
NUMBER OF CLAIMS:	78	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3651	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of the formula. ##STR1##

and pharmaceutically acceptable salts and solvates thereof, and to processes for the preparation of, intermediates used in the preparation of, compositions containing and the uses of, such compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 9 OF 9 USPATFULL on STN

ACCESSION NUMBER:	2001:150640 USPATFULL		
TITLE:	Purine derivatives		
INVENTOR(S):	Mantell, Simon John, Sandwich, Great Britain Monaghan, Sandra Marina, Sandwich, Great Britain Stephenson, Peter Thomas, Sandwich, Great Britain		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001020089	A1	20010906
	US 6525032	B2	20030225
APPLICATION INFO.:	US 2001-789236	A1	20010220 (9)
	NUMBER		DATE
PRIORITY INFORMATION:	GB 2000-3960		20000218
	US 2000-188648P		20000310 (60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Paul H. Ginsburg, Pfizer Inc, 235 East 42nd Street, 20th Floor, New York, NY, 10017-5755		
NUMBER OF CLAIMS:	10		
EXEMPLARY CLAIM:	1		
LINE COUNT:	2060		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to the compounds of the formula: ##STR1##

and pharmaceutically acceptable salts and solvates thereof, and to processes for the preparation of, intermediates used in the preparation of, composites containing, and the uses of such compounds as adenosine A2a receptor agonists.

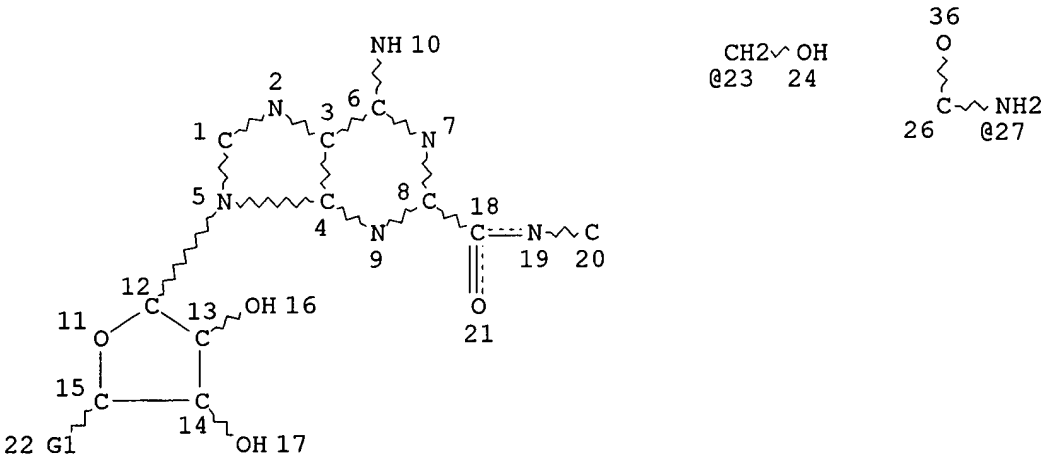
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

(FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 10:44:15 ON 03 JAN 2005)

L12 0 S L9

(FILE 'MARPAT' ENTERED AT 10:44:32 ON 03 JAN 2005)

L6 STR



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NSPEC IS RC AT 31
NSPEC IS RC AT 35
NSPEC IS RC AT 40
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 36

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L15 10 SEA FILE=MARPAT SSS FUL L6 (MODIFIED ATTRIBUTES)

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L15 ANSWER 1 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:77365 MARPAT

TITLE: Preparation of modified 2'- and 3'-nucleoside prodrugs for treating Flaviviridae infections

INVENTOR(S): Sommadossi, Jean-pierre; La Colla, Poalo; Storer, Richard; Gosselin, Gilles

PATENT ASSIGNEE(S): Idenix (Cayman) Limited, Cayman I.; Universita degli studi di Cagliari; Centre National de la Recherche Scientifique

SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002999	A2	20040108	WO 2003-IB3246	20030627
WO 2004002999	A3	20040812		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-392350P 20020628
US 2002-392351P 20020628
US 2003-466194P 20030428
US 2003-470949P 20030514

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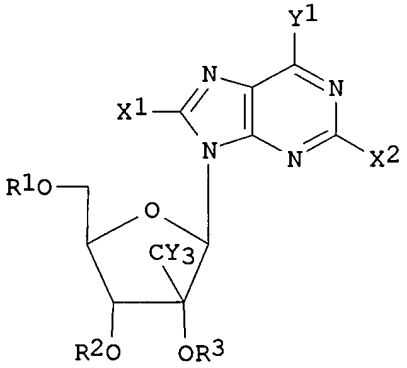

I

AB 2' And/or 3' prodrugs of 1', 2', 3' or 4'-branched-nucleosides I, wherein R1-R3 are independently H, phosphate, alkyl, acyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, sulfonate ester,

benzyl, wherein the Ph group is optionally substituted with one or more substituents, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, lipid, amino acid, carbohydrate, peptide, cholesterol; Y1 is hydrogen, bromo, chloro, fluoro, iodo, CN, OH, OR4, NH2, NHR4, NR4R5, SH or SR4; X1 and X2 are independently alkyl, CH3, CF3, CY3, 2-Br-Et, CH2F, CH2Cl, CH2CF3, CF2CF3, CY2CY3, CH2OH, alkenyl, alkynyl, COOH, COOR4, COO-alkyl, COO-aryl, CO-O-alkoxyalkyl, CONH2, CONHR4, CON(R4)2, halo, CN, N3, OH, OR4, NH2, NHR4, NR4R5, SH or SR5; Y is independently H, halo; and each R4 and R5 is independently hydrogen, acyl, alkyl, lower alkyl, alkenyl, alkynyl or cycloalkyl, and their pharmaceutically acceptable salts and derivs. are described. These prodrugs are useful in the prevention and treatment of Flaviviridae infections, including HCV infection, and other related conditions. Compds. and compns. of the prodrugs of the present invention are described. Methods and uses are also provided that include the administration of an effective amount of the prodrugs of the present invention, or their pharmaceutically acceptable salts or derivs. These drugs may optionally be administered in combination or alteration with further anti-viral agents to prevent or treat Flaviviridae infections and other related conditions. Thus, antiviral activity of β-D-2'-C-methyl-7-methyl-6-phenyl-3,3a,5,8a-tetrahydro-1,3,4,5,7a-penta-aza-s-indacen-8-one is reported.

IC ICM C07H019-00

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 34, 63

ST human Flaviviridae antiviral prodrug amino acid nucleoside prepn

IT Antiviral agents
Flaviviridae
Human
(preparation of modified and nucleoside prodrugs for treating flaviviridae infections)

IT Amino acids, preparation
Nucleosides, preparation
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of modified and nucleoside prodrugs for treating flaviviridae infections)

IT Drug delivery systems
(prodrugs; preparation of modified and nucleoside prodrugs for treating flaviviridae infections)

IT Infection
(viral; preparation of modified and nucleoside prodrugs for treating flaviviridae infections)

IT 4099-85-8P 33985-40-9P 55797-67-6P 327614-68-6P 327614-69-7P 503543-43-9P 503543-44-0P 640281-90-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of modified and nucleoside prodrugs for treating flaviviridae infections)

IT 640281-91-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of modified and nucleoside prodrugs for treating flaviviridae

infections)
IT 50-69-1, D-Ribose 13734-41-3 20724-73-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of modified and nucleoside prodrugs for treating flaviviridae
infections)

L15 ANSWER 2 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:41800 MARPAT
TITLE: Pharmaceutical combinations containing adenosine A2a receptor and adrenoceptor agonists
INVENTOR(S): Yeadon, Michael
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 13 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003109485	A1	20030612	US 2002-307727	20021202
WO 2003047628	A1	20030612	WO 2002-IB5046	20021128

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-29397 20011207
US 2002-352394P 20020128

AB The present invention relates to a combination comprising (a) an adenosine A2a receptor agonist and (b) an adrenergic receptor agonist, for simultaneous, sequential or sep. administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease. An adrenergic receptor agonist is chosen from e.g., salmeterol of formoterol. The compds. can be administered in inhalant formulations for the treatment of e.g., obstructive airway disease.
IC ICM A61K031-7076
ICS C07H019-16
NCL 514045000
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
ST pharmaceutical adenosine receptor adrenoceptor agonist; inhalant pharmaceutical adenosine receptor adrenoceptor agonist
IT Adenosine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(A2A, agonists; pharmaceutical combination)
IT Drug delivery systems
(aerosols, powders; pharmaceutical combination)
IT Drug delivery systems

(aerosols; pharmaceutical combination)
IT Nose, disease
(allergic rhinitis; pharmaceutical combination)
IT Bronchi, disease
Inflammation
(bronchitis, chronic; pharmaceutical combination)
IT Bronchi, disease
Inflammation
(bronchitis; pharmaceutical combination)
IT Lung, disease
(chronic inflammation; pharmaceutical combination)
IT Lung, disease
(chronic obstructive; pharmaceutical combination)
IT Drug delivery systems
(inhalants; pharmaceutical combination)
IT Respiratory tract, disease
(obstructive; pharmaceutical combination)
IT Allergy inhibitors
Anti-inflammatory agents
Antiasthmatics
Asthma
Human
Inflammation
Silicosis
(pharmaceutical combination)
IT Respiratory tract, disease
(sinusitis, chronic; pharmaceutical combination)
IT Adrenoceptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β2; pharmaceutical combination)
IT 73573-87-2, Formoterol 89365-50-4, Salmeterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical combination)
IT 313344-83-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical combinations containing adenosine A2a receptor and adrenoceptor agonists)

L15 ANSWER 3 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:12336 MARPAT
TITLE: Pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists
INVENTOR(S): Yeadon, Michael
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047598	A1	20030612	WO 2002-IB5057	20021128

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1455799 A1 20040915 EP 2002-785778 20021128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

US 2003139369 A1 20030724 US 2002-308160 20021202

PRIORITY APPLN. INFO.: GB 2001-29270 20011206
US 2002-352465P 20020128
WO 2002-IB5057 20021128

AB The present invention relates to a combination comprising (a) an adenosine A2a receptor agonist as defined herein and (b) an adrenergic β2 receptor agonist, for simultaneous, sequential or sep. administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease.

IC ICM A61K031-70
ICS C07H019-16

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

ST airway disease adenosine A2a agonist beta2 adrenergic inhalant

IT Purinoceptor agonists
(A2a; pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists)

IT Drug delivery systems
(inhalants; pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists)

IT Medical goods
(inhalers; pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists)

IT Respiratory tract, disease
(obstructive; pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists)

IT Anti-inflammatory agents
Bronchodilators
Inflammation
(pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists)

IT Adrenoceptor agonists
(β2-; pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists)

IT 380221-63-6
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical combinations of adenosine A-2a and β2-adrenergic receptor agonists)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:8333 MARPAT
TITLE: Combination of an adenosine A2A-receptor agonist and tiotropium or a derivative thereof for treating obstructive airways and other inflammatory diseases
INVENTOR(S): Yeadon, Michael; Armstrong, Roisin Anne; Watson, John W.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094273	A2	20021128	WO 2002-EP5764	20020525
WO 2002094273	A3	20031211		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003013675	A1	20030116	US 2002-154561	20020524
EP 1397140	A2	20040317	EP 2002-740650	20020525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534767	T2	20041118	JP 2002-590990	20020525
PRIORITY APPLN. INFO.:			US 2001-293530P	20010525
			US 2001-303934P	20010709
			WO 2002-EP5764	20020525

AB A combination of therapeutic agents useful in the treatment of obstructive airways and other inflammatory diseases comprises (i) an adenosine A2A receptor agonist, and (ii) an anticholinergic agent, administered sep., simultaneously or sequentially by inhalation. The preferred anticholinergic agent component is tiotropium bromide. For example, a pressurized, tetrafluoroethylene-coated aluminum canister for use in a metered dose inhaler was prepared, sufficient to provide about 200 actuations of the inhaler, each actuation providing about 20 μg of each active ingredient. The contents of each the canister were: N-[[9-[(2R,3R,4S,5R)-3,4-dihydroxy-5-(methoxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9H-purin-2-yl]methyl]-2-phenylacetamide, tiotropium bromide, dichlorotetrafluoroethane, trichloromonofluoromethane, dichlorodifluoromethane, and soya lecithin.

IC ICM A61K031-52
ICS A61K009-72; A61P011-06; A61P011-08; A61K031-52; A61K031-46
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
ST adenosine agonist anticholinergic inhalant obstructive airway inflammation
IT Purinoceptor agonists

(A2A; combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

IT Adenosine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(A2A; combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

IT Drug delivery systems
(aerosols, inhalants; combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

IT Lung, disease
(chronic obstructive; combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

IT Anti-inflammatory agents
Asthma
Cholinergic antagonists
Inflammation
(combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

IT Human
(combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases in humans)

IT Drug delivery systems
(inhalants; combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

IT Respiratory tract, disease
(obstructive; combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

IT Drug delivery systems
(powders, inhalants; combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

IT Drug interactions
(synergistic; combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

IT 136310-93-5, Tiotropium bromide 264607-39-8 264607-40-1 264607-41-2
264607-42-3 264607-43-4 264607-44-5 264607-45-6 264607-47-8
264607-53-6 313344-83-1 313344-84-2 313344-88-6 313344-89-7
313344-90-0 313352-80-6 333333-64-5 333333-66-7 333333-68-9
333333-70-3 334701-47-2 334701-48-3 334701-49-4 334701-50-7
334701-51-8 334701-52-9 355144-57-9 355144-58-0 380221-56-7
380221-57-8 380221-58-9 380221-59-0 380221-60-3 380221-61-4
380221-62-5 380221-63-6 383887-24-9 383887-26-1 383887-28-3
383887-30-7 383887-93-2 412010-60-7, Tiotropium chloride
412010-61-8, Tiotropium iodide 412010-62-9 412010-63-0 412010-64-1
476644-82-3 476644-83-4 476644-84-5 476644-85-6 476644-86-7
476644-87-8 476644-88-9 476644-89-0 476644-90-3 477289-91-1
477289-92-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

L15 ANSWER 5 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:37902 MARPAT
TITLE: Preparation of 2-aminocarbonyl-9H-purine nucleosides and their uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents
INVENTOR(S): Mantell, Simon John; Stephenson, Peter Thomas
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094368	A1	20011213	WO 2001-IB973	20010605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2414018	AA	20011213	CA 2001-2414018	20010605
US 2002058641	A1	20020516	US 2001-874007	20010605
US 6753322	B2	20040622		
EP 1292604	A1	20030319	EP 2001-934242	20010605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011263	A	20030617	BR 2001-11263	20010605
JP 2003535871	T2	20031202	JP 2002-501916	20010605
NZ 522184	A	20040528	NZ 2001-522184	20010605
EE 200200678	A	20040615	EE 2002-678	20010605
BG 107216	A	20030530	BG 2002-107216	20021023
NO 2002005821	A	20030204	NO 2002-5821	20021204
ZA 2002009875	A	20031205	ZA 2002-9875	20021205
US 2004077584	A1	20040422	US 2003-676782	20031001
PRIORITY APPLN. INFO.:			GB 2000-14048	20000606
			GB 2000-18246	20000725
			GB 2000-24920	20001011
			US 2000-214307P	20000627
			US 2000-225236P	20000815
			US 2000-245243P	20001102
			US 2001-874007	20010605
			WO 2001-IB973	20010605

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 2-Aminocarbonyl-9H-purine nucleosides I wherein R, R2 are independently H, alkyl; R1 is H, substituted alkyl, fluorenyl; R3 is H, alkyl, cycloalkyl, benzyl; R4 is substituted azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; R3R4 taken together with the nitrogen atom to which they are attached, represent azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperidinyl or homopiperazinyl, each being optionally substituted on a ring nitrogen or carbon atom by alkyl or cycloalkyl; R5 is CH2OH, amide; X is substituted alkylene; RX or R2X with the nitrogen atom to which they are attached , represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; Y is CO, CS, SO2, C=N(CN); were prepared as A2a receptor agonists and anti-inflammatory agents. Thus, nucleoside II was prepared and tested as A2a receptor agonist and anti-inflammatory agent. Title compds. were tested for biol. activity as A2a receptor agonists and anti-inflammatory agents and all were found to have an IC50 of less than 100 nM.

IC ICM C07H019-167
ICS A61K031-70

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 63

ST aminocarbonylpurine nucleoside prepn treatment respiratory disease receptor agonist antiinflammatory

IT Adenosine receptors
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(A2A; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Inflammation
(Crohn's disease; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Intestine, disease
(Crohn's; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Dermatitis
(allergic; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Fertility
(disorder, male factor; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Stomach, disease
(gastritis, non-heliobacter pylori and heliobacter pylori; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Sexual behavior
(impotence, male; preparation of 2-aminocarbonyl-9H-purine nucleosides and

uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Intestine, disease
(inflammatory; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Reperfusion
(injury, post-ischemic; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Anti-ischemic agents
Anticonvulsants
Antihypertensives
(male factor; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Anti-inflammatory agents
(nonsteroidal; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Blood vessel, disease
(peripheral; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Anti-inflammatory agents
Antidiabetic agents
Antipsychotics
Antirheumatic agents
Dermatitis
Eczema
Multiple sclerosis
Psoriasis
Respiratory tract, disease
Wound healing
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Nucleosides, preparation
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Shock (circulatory collapse)
(septic; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Brain, disease

(stroke, male factor; preparation of 2-aminocarbonyl-9H-purine nucleosides
and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT Intestine, disease
(ulcerative colitis; preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT 380221-56-7P 380221-57-8P 380221-58-9P 380221-59-0P 380221-60-3P
380221-61-4P 380221-62-5P 380221-63-6P 380221-64-7P 380221-65-8P
380221-66-9P 380221-67-0P 380221-68-1P 380221-69-2P 380221-70-5P
380221-71-6P 380221-72-7P 380221-73-8P 380221-74-9P 380221-75-0P
380221-76-1P 380221-77-2P 380221-78-3P 380221-79-4P 380221-80-7P
380221-81-8P 380221-82-9P 380221-83-0P 380221-84-1P 380221-85-2P
380221-87-4P 380221-89-6P 380221-91-0P 380221-93-2P 380222-54-8P
380222-56-0P 380222-58-2P 380222-64-0P 380222-78-6P 380222-79-7P
380222-80-0P 380222-81-1P 380222-82-2P 380222-83-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment
of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT 64-19-7, Acetic acid, reactions 67-63-0, Isopropanol, reactions
74-89-5, Methylamine, reactions 77-76-9, 2,2-Dimethoxypropane 79-37-8,
Oxalyl chloride 98-88-4, Benzoyl chloride 107-15-3,
1,2-Ethylenediamine, reactions 107-16-4, Hydroxyacetonitrile 109-76-2,
1,3-Diaminopropane 110-87-2, 3,4-Dihydro-2H-pyran 151-50-8, Potassium
cyanide 530-62-1, N,N'-Carbonyldiimidazole 574-98-1,
N-(2-Bromoethyl)phthalimide 1003-03-8, Cyclopentylamine 1195-42-2,
N-Isopropylcyclohexylamine 2564-83-2, TEMPO 2615-25-0,
trans-1,4-Diaminocyclohexane 3529-09-7, N,N-Dibutyl-1-2-ethanediamine
3963-62-0, 2,2-Diphenylethylamine 4013-94-9, N,N'-Diisopropyl-1,2-
ethanediamine 5188-07-8, Sodium thiomethoxide 5451-40-1 6192-52-5
6384-86-7 7087-68-5 10310-21-1, 2-Amino-6-chloropurine 10416-59-8,
N,O-Bis(trimethylsilyl)acetamide 13035-61-5 18807-71-1 19678-58-1,
4-Isopropylpiperidine 24057-28-1 25952-53-8, EDC 27578-60-5,
2-(1-Piperidinyl)ethylamine 27607-77-8 37222-66-5, Oxone 50541-93-0,
1-Benzyl-4-piperidinylamine 53356-51-7 54622-95-6 57260-73-8
84227-70-3 84478-09-1 88915-26-8 114675-19-3 114675-20-6
114715-38-7 114715-39-8 144465-94-1 380222-98-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment
of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT 20419-68-5P 52703-17-0P 264608-14-2P 264608-15-3P 264608-16-4P
264608-17-5P 264608-18-6P 313345-04-9P 313345-05-0P 313345-06-1P
313345-14-1P 334701-71-2P 334701-72-3P 334701-73-4P 334701-74-5P
355144-92-2P 355144-93-3P 355144-94-4P 355144-95-5P 355144-96-6P
355144-97-7P 380222-04-8P 380222-16-2P 380222-18-4P 380222-20-8P
380222-23-1P 380222-26-4P 380222-28-6P 380222-30-0P 380222-33-3P
380222-36-6P 380222-40-2P 380222-42-4P 380222-44-6P 380222-46-8P
380222-48-0P 380222-50-4P 380222-52-6P 380222-60-6P 380222-62-8P
380222-66-2P 380222-67-3P 380222-68-4P 380222-69-5P 380222-70-8P

380222-71-9P 380222-72-0P 380222-73-1P 380222-74-2P 380222-75-3P
380222-76-4P 380222-77-5P 380222-84-4P 380222-85-5P 380222-86-6P
380222-88-8P 380222-90-2P 380222-92-4P 380222-93-5P 380222-94-6P
380222-95-7P 380222-96-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment
of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

IT 380222-97-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment
of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:6296 MARPAT
TITLE: Preparation of antiviral nucleosides and methods for treating hepatitis C virus
INVENTOR(S): Sommadossi, Jean-Pierre; Lacolla, Paulo
PATENT ASSIGNEE(S): Novirio Pharmaceuticals Limited, Cayman I.; Universita degli Studi di Cagliari
SOURCE: PCT Int. Appl., 296 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090121	A2	20011129	WO 2001-US16671	20010523
WO 2001090121	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2409613	AA	20011129	CA 2001-2409613	20010523
AU 2001074906	A5	20011203	AU 2001-74906	20010523
US 2003050229	A1	20030313	US 2001-864078	20010523
EP 1292603	A2	20030319	EP 2001-941564	20010523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011127	A	20030624	BR 2001-11127	20010523
JP 2004533401	T2	20041104	JP 2001-586308	20010523
NO 2002005627	A	20030106	NO 2002-5627	20021122
US 2004097461	A1	20040520	US 2003-602691	20030620

US 2004101535 A1 20040527 US 2003-602976 20030620
PRIORITY APPLN. INFO.: US 2000-206585P 20000523
US 2001-864078 20010523
WO 2001-US16671 20010523

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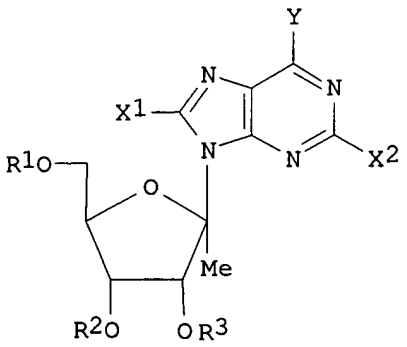

I

AB A method and composition for treating a host infected with hepatitis C comprising administering an effective hepatitis C treatment amount of a described 1'-, 2'- or 3'-modified nucleosides I, wherein : R1-R3 and R are independently H, phosphate (including mono, di- or triphosphate and a stabilized phosphate prodrug); acyl; alkyl; sulfonate ester including alkyl or arylalkyl sulfonyl including methanesulfonyl and benzyl, wherein the Ph group is optionally substituted with one or more substituents as described in the definition of aryl given herein; a lipid, including a phospholipid; an amino acid; a carbohydrate; a peptide; a cholesterol; or other pharmaceutically acceptable leaving group which when administered in vivo is capable of providing a compound wherein R1-R3 are independently H or phosphate; Y is hydrogen, bromo, chloro, fluoro, iodo, OR4, NR4R5 or SR4; X1 and X2 are independently selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, chloro, bromo, fluoro, iodo, OR4, NR4R5 or SR4; and R4 and R5 are independently hydrogen, acyl, alkyl.or a pharmaceutically acceptable salt or prodrug thereof, is provided. Thus, I (R1-R3 = X1 = X2 = H, Y = NH2) was prepared and tested in Cynomolgus monkeys as antiviral agent. Oral bioavailability in monkeys, bone human bone marrow toxicity (IC50 > 10 μM), and mitochondrial toxicity, were reported .

IC ICM C07H
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 15, 63
ST nucleoside antiviral prepn bone marrow mitochondrial toxicity
IT Hepatitis
(C; preparation of antiviral nucleosides and methods for treating hepatitis
C virus)
IT Antiviral agents
Bone marrow
Drug bioavailability
Mitochondria

Toxicity
(preparation of antiviral nucleosides and methods for treating hepatitis C
virus)
IT Nucleosides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral nucleosides and methods for treating hepatitis C
virus)
IT Bone marrow
(toxicity; preparation of antiviral nucleosides and methods for treating hepatitis C virus)
IT 36791-04-5, Ribavirin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of antiviral nucleosides and methods for treating hepatitis C
virus)
IT 15397-12-3P 16848-12-7P 20724-73-6P 31448-54-1P 34441-68-4P
38946-83-7P 38946-84-8P 54401-19-3P 69123-98-4P 119410-84-3P
125911-76-4P 374750-27-3P 374750-28-4P 374750-29-5P 374750-30-8P
374750-31-9P 374750-32-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral nucleosides and methods for treating hepatitis C
virus)

L15 ANSWER 7 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:590 MARPAT
TITLE: Methods and compositions using modified nucleosides for treating flaviviruses and pestiviruses
INVENTOR(S): Sommadossi, Jean-Pierre; Lacolla, Paolo
PATENT ASSIGNEE(S): Novirio Pharmaceuticals Limited, Cayman I.; Universita Degli Studi Di Cagliari
SOURCE: PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092282	A2	20011206	WO 2001-US16687	20010523
WO 2001092282	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,

UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2410579	AA	20011206	CA 2001-2410579	20010523
EP 1294735	A2	20030326	EP 2001-952131	20010523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003060400	A1	20030327	US 2001-863816	20010523
US 6812219	B2	20041102		
BR 2001011196	A	20040406	BR 2001-11196	20010523
JP 2004510698	T2	20040408	JP 2002-500895	20010523
NO 2002005600	A	20030117	NO 2002-5600	20021121
US 2004063622	A1	20040401	US 2003-602693	20030620
US 2004097462	A1	20040520	US 2003-602692	20030620
US 2004102414	A1	20040527	US 2003-602694	20030620
PRIORITY APPLN. INFO.:			US 2000-207674P	20000526
			US 2001-283276P	20010411
			US 2001-863816	20010523
			WO 2001-US16687	20010523

AB A method and composition are provided for treating a host infected with flavivirus or pestivirus, comprising administering an effective amount of a 1', 2' or 3'-modified nucleoside or a pharmaceutically acceptable salt or prodrug thereof.

IC ICM C07H019-00
CC 1-5 (Pharmacology)
Section cross-reference(s): 63
ST flavivirus pestivirus antiviral nucleoside deriv

IT Drug delivery systems
(capsules; nucleoside derivs. for treating flaviviruses and pestiviruses)
IT Toxicity
(drug; nucleoside derivs. for treating flaviviruses and pestiviruses)
IT Hematopoietic precursor cell
(erythroid burst-forming; nucleoside derivs. for treating flaviviruses and pestiviruses)
IT Hematopoietic precursor cell
(granulocyte-macrophage colony-forming; nucleoside derivs. for treating flaviviruses and pestiviruses)
IT Mitochondria
(mitochondrial toxicity; nucleoside derivs. for treating flaviviruses and pestiviruses)
IT Toxicity
(myelotoxicity; nucleoside derivs. for treating flaviviruses and pestiviruses)
IT Antiviral agents
Bovine diarrhea virus
Cytotoxicity
Drug bioavailability
Flavivirus
Pestivirus
(nucleoside derivs. for treating flaviviruses and pestiviruses)
IT Drug delivery systems
(tablets; nucleoside derivs. for treating flaviviruses and pestiviruses)
IT Bone marrow

(toxicity; nucleoside derivs. for treating flaviviruses and pestiviruses)
IT Drug delivery systems
(unit doses; nucleoside derivs. for treating flaviviruses and pestiviruses)
IT 15397-12-3 16848-12-7 20724-73-6 31448-54-1 69123-98-4, FIAU 119410-84-3 374750-30-8 374750-32-0
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside derivs. for treating flaviviruses and pestiviruses)
IT 125911-76-4 374750-27-3 374750-28-4 374750-29-5
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)
(nucleoside derivs. for treating flaviviruses and pestiviruses)
IT 34441-68-4 38946-83-7 38946-84-8 54401-19-3 374750-31-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside derivs. for treating flaviviruses and pestiviruses)

L15 ANSWER 8 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:180928 MARPAT
TITLE: Preparation of adenosine derivatives for pharmaceutical use as adenosine A2a receptor agonists
INVENTOR(S): Mantell, Simon John; Monoghan, Sandra Marina; Stephenson, Peter Thomas
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060835	A1	20010823	WO 2001-IB167	20010209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400619	AA	20010823	CA 2001-2400619	20010209
AU 2001030440	A5	20010827	AU 2001-30440	20010209
EP 1255764	A1	20021113	EP 2001-902583	20010209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001008408	A	20021126	BR 2001-8408	20010209
EE 200200452	A	20031215	EE 2002-452	20010209
JP 2004508284	T2	20040318	JP 2001-560219	20010209
NZ 519971	A	20040430	NZ 2001-519971	20010209
US 2001020089	A1	20010906	US 2001-789236	20010220
US 6525032	B2	20030225		

BG 106906	A	20030430	BG 2002-106906	20020705
ZA 2002006526	A	20031016	ZA 2002-6526	20020815
NO 2002003894	A	20021001	NO 2002-3894	20020816
PRIORITY APPLN. INFO.:			GB 2000-3960	20000218
			US 2000-188648P	20000310
			WO 2001-IB167	20010209

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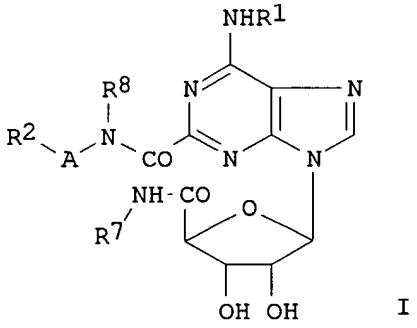

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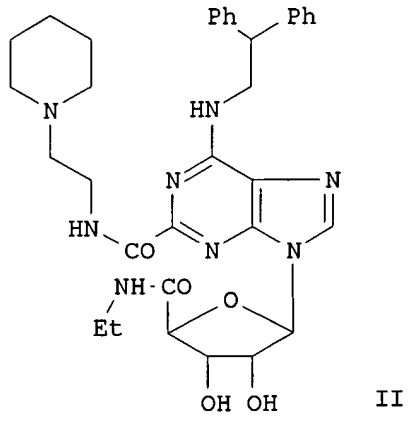

II

AB Adenosines, such as I [A = bond, alkylene connecting group; R1 = H, alkyl, cycloalkyl, arylalkyl, etc.; R2 = H, Ph, naphthyl, alkyl, cycloalkyl, amino, alkyloxy, carboxy, acyloxy, sulfonyl, aminosulfonyl, acylamino, etc.; R7 = H, Ph, naphthyl, heterocyclyl,alkyl, cycloalkyl, etc.; R8 = H, alkyl], were prepared for therapeutic use as adenosine A2a receptor agonists for the treatment of a variety of conditions, such as respiratory disease, inflammation, vascular disease, and psychotic disorders. Thus, adenosine derivative II was prepared via a multistep synthetic sequence starting from 2,6-dichloropurine, 1-piperidineethanamine, 2,2-diphenylethanamine and Me 2,3-O-(1-methylethylidene)-β-D-ribofuranosiduronic acid. Formulation for delivery of the prepared adenosine derivs. were discussed, but no adenosine A2a receptor activity data was presented.

IC ICM C07H019-167
ICS A61K031-70; C07D473-34; C07D473-40

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 26, 63

ST adenosine deriv prepn purinoceptor A2a agonist

IT Purinoceptor agonists
(A2; preparation of adenosine derivs. for pharmaceutical use as adenosine A2a receptor agonists)

IT 355144-57-9P 355144-58-0P 355144-59-1P 355144-60-4P 355144-61-5P
355144-62-6P 355144-63-7P 355144-64-8P 355144-65-9P 355144-66-0P
355144-68-2P 355144-69-3P 355144-70-6P 355144-71-7P 355144-72-8P
355144-73-9P 355144-74-0P 355144-75-1P 355144-76-2P 355144-77-3P
355144-78-4P 355144-79-5P 355144-80-8P 355144-81-9P 355144-82-0P
355144-83-1P 355144-84-2P 355144-85-3P 355144-86-4P 355144-87-5P
355144-88-6P 355144-89-7P 355144-90-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of adenosine derivs. for pharmaceutical use as adenosine A2a receptor agonists)

IT 75-04-7, Ethanamine, reactions 98-88-4, Benzoyl chloride 108-91-8, Cyclohexanamine, reactions 110-87-2 574-98-1 616-24-0, 3-Pentanamine 3182-95-4 3963-62-0 5451-40-1 10310-21-1 19678-58-1 27578-60-5, 1-Piperidineethanamine 34577-90-7, 9H-Fluorene-9-methanamine 54622-95-6 355145-09-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of adenosine derivs. for pharmaceutical use as adenosine A2a receptor agonists)

IT 20419-68-5P 177546-00-8P 264608-14-2P 264608-17-5P 264608-18-6P 313345-03-8P 313345-04-9P 313345-10-7P 313345-11-8P 334701-71-2P 334701-72-3P 334701-73-4P 334701-74-5P 355144-91-1P 355144-92-2P 355144-93-3P 355144-94-4P 355144-95-5P 355144-96-6P 355144-97-7P 355144-98-8P 355144-99-9P 355145-00-5P 355145-01-6P 355145-02-7P 355145-03-8P 355145-04-9P 355145-05-0P 355145-06-1P 355145-07-2P 355145-08-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of adenosine derivs. for pharmaceutical use as adenosine A2a receptor agonists)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:56915 MARPAT
TITLE: Preparation of purine nucleosides as antiinflammatory agents
INVENTOR(S): Mantell, Simon John; Monaghan, Sandra Marina
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer, Inc.
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000077018	A2	20001221	WO 2000-IB789	20000613
WO 2000077018	A3	20011206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2379786	AA	20001221	CA 2000-2379786	20000613
EP 1185542	A2	20020313	EP 2000-931495	20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

BR 2000011705	A	20020326	BR 2000-11705	20000613
TR 200103607	T2	20021021	TR 2001-20010360	20000613
JP 2003502339	T2	20030121	JP 2001-503875	20000613
EE 200100681	A	20030415	EE 2001-681	20000613
AU 764106	B2	20030807	AU 2000-49443	20000613
NZ 516094	A	20040730	NZ 2000-516094	20000613
ZA 2001010208	A	20021212	ZA 2001-10208	20011212
HR 2001000927	A1	20030430	HR 2001-927	20011213
NO 2001006109	A	20020215	NO 2001-6109	20011214
BG 106289	A	20020930	BG 2002-106289	20020108
PRIORITY APPLN. INFO.:			GB 1999-13932	19990615
			WO 2000-IB789	20000613

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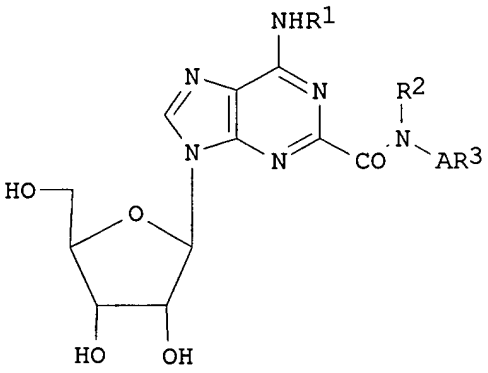

I

AB Nucleosides I (R1 = H, alkyl, arylalkyl; R2 = H, alkyl; R3 = H, alkyl, ester, CN, amide, cycloalkyl, Ph, naphthyl; A = alkylidene, imine, alkoxy, oxycarbonyl, sulfone, sulfonamide), and pharmaceutically acceptable salts and solvates thereof and to processes for the preparation of, intermediates used in the preparation of, compns. containing and the uses of, such compds. as adenosine A2a receptor agonists. Thus, I (R1 = CH2CHPh2, R2 = H, R3 = 1-piperidinyl, A = CH2CH2) was prepared and tested for its antiinflammatory activity by its ability to inhibit neutrophil function (IC50 < 1 μM).

IC ICM C07H019-00

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 63

ST adenosine receptor agonist purine nucleoside prepn antiinflammatory

IT Adenosine receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(A2a; preparation of purine nucleosides as antiinflammatory agents)

IT Anti-inflammatory agents
Neutrophil
(preparation of purine nucleosides as antiinflammatory agents)

IT Nucleosides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purine nucleosides as antiinflammatory agents)
IT 313344-83-1P 313344-84-2P 313344-85-3P 313344-86-4P 313344-88-6P
313344-89-7P 313344-90-0P 313352-80-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purine nucleosides as antiinflammatory agents)
IT 72287-26-4, 1,1'-Bis(diphenylphosphino)ferrocenedichloropalladium
RL: CAT (Catalyst use); USES (Uses)
(preparation of purine nucleosides as antiinflammatory agents)
IT 108-00-9, N,N-Dimethylethylenediamine 110-87-2 530-62-1, N,N'-Carbonyldiimidazole 768-66-1, 2,2,6,6-Tetramethylpiperidine 2038-03-1, N-(2-Aminoethyl)morpholine 2706-56-1, 2-(2-Aminoethyl)pyridine 3731-51-9, 2-(Aminomethyl)pyridine 3963-62-0, 2,2-Diphenylethylamine 5451-40-1 5987-76-8 23159-07-1, N-(3-Aminopropyl)pyrrolidine 27578-60-5, 1-Piperidineethanamine 313344-94-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of purine nucleosides as antiinflammatory agents)
IT 12150-46-8P, 1,1'-Bis(diphenylphosphino)ferrocene 20419-68-5P
264608-14-2P 264608-15-3P 264608-16-4P 264608-17-5P 264608-18-6P
313344-91-1P 313344-92-2P 313344-93-3P 313344-97-7P 313345-00-5P
313345-01-6P 313345-02-7P 313345-03-8P 313345-04-9P 313345-05-0P
313345-06-1P 313345-07-2P 313345-08-3P 313345-09-4P 313345-10-7P
313345-11-8P 313345-12-9P 313345-13-0P 313345-14-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of purine nucleosides as antiinflammatory agents)

L15 ANSWER 10 OF 10 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 128:192881 MARPAT
TITLE: Palladium catalyzed nucleoside modifications methods using nucleophiles and carbon monoxide
INVENTOR(S): Tu, Chi; Dewey, Torin M.; Eaton, Bruce
PATENT ASSIGNEE(S): NeXstar Pharmaceuticals, Inc., USA
SOURCE: U.S., 18 pp., Cont.-in-part of U.S. 5,428,149.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5719273	A	19980217	US 1995-458421	19950602
US 5428149	A	19950627	US 1993-76735	19930614
CA 2164935	AA	19941222	CA 1994-2164935	19940531
US 5633361	A	19970527	US 1995-407893	19950321
US 5591843	A	19970107	US 1995-423395	19950419
CA 2221279	AA	19961205	CA 1996-2221279	19960530
WO 9638460	A1	19961205	WO 1996-US8026	19960530

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA

AU 9661468	A1	19961218	AU 1996-61468	19960530
AU 721747	B2	20000713		
EP 828750	A1	19980318	EP 1996-919015	19960530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11506107	T2	19990602	JP 1996-536652	19960530
PRIORITY APPLN. INFO.:			US 1993-76735	19930614
			US 1995-458421	19950602
			US 1995-459073	19950602
			WO 1996-US8026	19960530

OTHER SOURCE(S): CASREACT 128:192881

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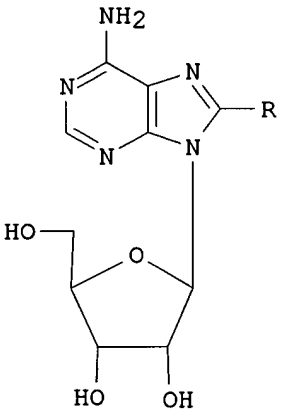

I

AB This invention discloses a method for the preparation modified nucleosides using a palladium catalyst coupling of nucleoside, a nucleophile, and carbon monoxide. Thus, coupling of nucleoside I (R = Br) with CO and NH2CMe3 in presence of palladium gave I (R = CONHCMe3) in 98 % yield.

IC ICM C07H019-00
NCL 536027600
CC 33-9 (Carbohydrates)
ST palladium catalyzed nucleoside amine carbon monoxide

IT Coupling reaction catalysts
(coupling-palladium catalyzed nucleosides using nucleophile amines and carbon monoxide)

IT Nucleosides, preparation
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(coupling-palladium catalyzed nucleosides using nucleophile amines and carbon monoxide)

IT 14221-01-3, Tetrakis(triphenylphosphine)palladium
RL: CAT (Catalyst use); USES (Uses)
(coupling-palladium catalyzed nucleosides using nucleophile amines and carbon monoxide)

IT 179398-64-2P 184238-50-4P 184238-51-5P 184238-52-6P 184238-54-8P
185849-19-8P 185849-20-1P 185849-21-2P 185849-22-3P 185849-23-4P
185849-24-5P 185849-25-6P 185849-26-7P 185849-27-8P 185849-28-9P

185849-29-0P 185849-30-3P 185849-31-4P 185849-32-5P 185849-33-6P
185849-34-7P 185849-35-8P 185849-36-9P 185849-37-0P 185849-39-2P
185849-75-6P 185849-86-9P 185849-87-0P 185849-89-2P 185849-91-6P
203510-54-7P 203510-55-8P 203510-56-9P 203510-57-0P 203510-59-2P
203510-61-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(coupling-palladium catalyzed nucleosides using nucleophile amines and carbon monoxide)

IT 51-45-6, 1H-Imidazole-4-ethanamine, reactions 62-53-3, Phenylamine, reactions 67-56-1, Methanol, reactions 75-31-0, Isopropylamine, reactions 75-64-9, Tert-Butylamine, reactions 107-15-3, 1,2-Ethanediamine, reactions 109-73-9, Butylamine, reactions 110-91-8, Morpholine, reactions 141-43-5, Ethanolamine, reactions 1024-99-3, 5-Iodouridine 2946-39-6 3731-53-1, 4-Aminomethylpyridine 4016-63-1 10256-43-6 19556-58-2 28696-31-3 31281-86-4 111790-37-5 179398-66-4 184238-58-2 184238-59-3 185849-74-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling-palladium catalyzed nucleosides using nucleophile amines and carbon monoxide)

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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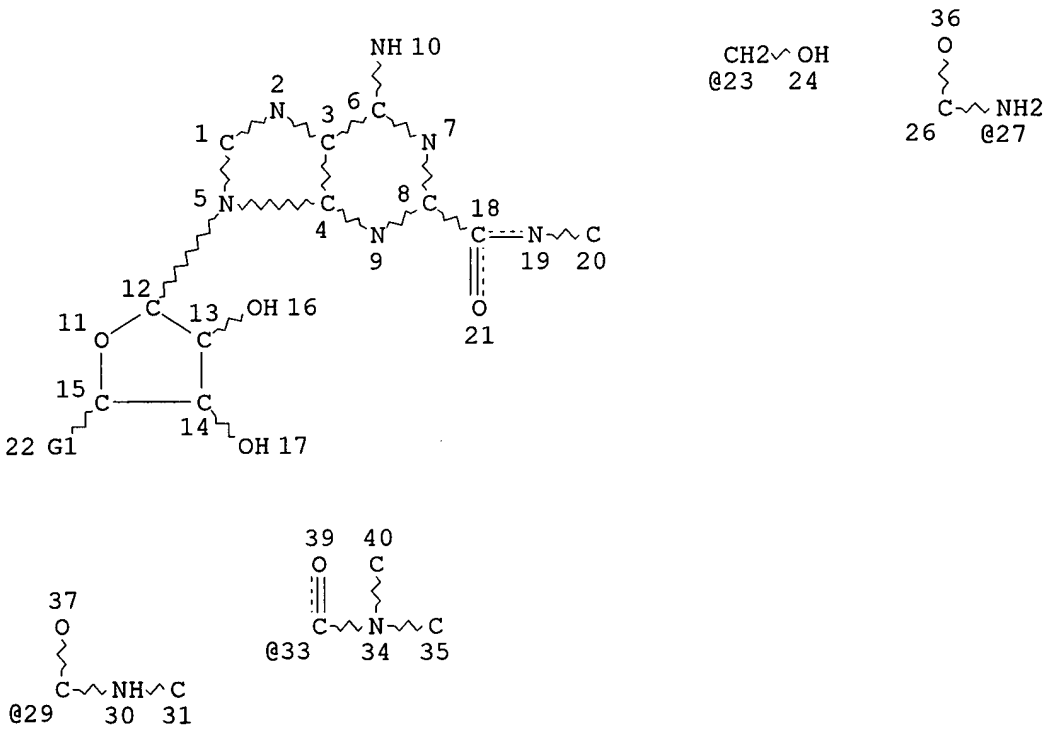
L6 STR



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NSPEC IS RC AT 35
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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 36

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
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ALL RING(S) ARE ISOLATED

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